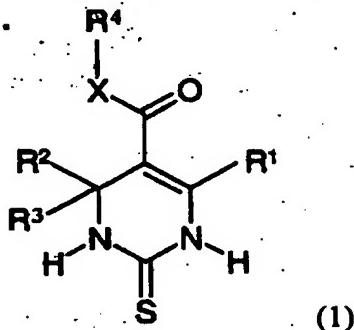


Claims

- [1] 1. A compound of the following formula (1):



in which

X is O or S

R¹ is hydrogen,

alkyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of halogen; alkoxy; alkoxycarbonyl; hydroxy; carboxy; and aryl, alkoxy,

alkoxycarbonyl unsubstituted or substituted by aryl, or aryl,

R² and R³ each are

hydrogen,

alkyl substituted by 1 to 3 substituents selected from a group consisting of halogen; hydroxy; alkoxy; alkylsulfonyl; and aralkyloxy, alkoxycarbonyl,

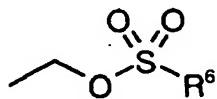
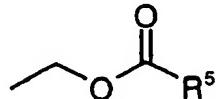
alkylsulfonylalkyl,

aryl, or

heteroaryl, or

R² and R³ together form cycloalkyl with the carbon atom to which they are attached, or

when one of R² and R³ is hydrogen, the other is a structure selected from the following:



where

R⁵ is alkyl unsubstituted or substituted by amino,

alkylamino unsubstituted or substituted by alkylcarbonylamino; alkyl-

carbonyloxy; or dialkylamino,

alkoxy unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of benzyloxy; alkoxycarbonyl; alkyl; alkylsulfonyl; alkylcarbonylthio; alkoxycarbonylamino; and heterocycle which is unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkyl and oxo, and which may include a double bond, aryl unsubstituted or substituted by carboxy, or aralkyl unsubstituted or substituted by alkylcarbonyloxy,

R⁶ is alkyl,

R⁴ is alkyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkoxy unsubstituted or substituted by heteroaryl; carboxy; alkylthio; alkoxycarbonyl; alkylaminocarbonyl; biphenyl; aryl; aryloxy; aralkyloxy; alkylaryl; amino unsubstituted or substituted by a substituent(s) selected from a group consisting of alkyl and alkylaryl; cycloalkyl; cycloalkyloxy; alkylarylsulfonyl; heteroaryl unsubstituted or substituted by halogen; and heteroarylthio,

alkenyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of halogen; aryl; and heteroaryl,

alkinyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkoxy; alkenyloxy; alkinyloxy; aralkyloxy; aryl; and heteroaryl,

-(CH₂)₂N-cycloalkyl,

-(CH₂)₂N-cycloalkyl substituted by aryl which is unsubstituted or substituted by alkoxy or halogen; or fused with heteroaryl unsubstituted or substituted by halogen,

-(CH₂)₂N-cycloalkenyl,

-(CH₂)₂N-cycloalkenyl substituted by 1 to 3 substituents selected from a group consisting of alkyl and alkenyl,

-(CH₂)₂N-aryl,

-(CH₂)₂N-aryl substituted by 1 to 5 substituents selected from a group consisting of nitro; cyano; hydroxy; halogen; alkyl; halogenoalkyl; alkoxy; halogenoalkoxy; alkylthio; halogenoalkylthio; alkylsulfonyl; alkoxycarbonyl; alkoxycarbonyloxy; amino unsubstituted or substituted by a substituent(s) selected from a group consisting of alkyl, alkoxyalkyl, alkenyl, cycloalkyl, and cycloalkylalkyl; aryloxy; aralkyloxy unsubstituted or substituted by alkoxy; and heterocycle,

- $(\text{CH}_2)_N$ -heterocycle,
-(CH_2_N)₂-heterocycle substituted by 1 to 3 substituents selected from a group consisting of oxo; nitro; alkyl; aralkyl; and aryl unsubstituted or substituted by nitro,
-(CH_2_N)₂-heteroaryl, or
-(CH_2_N)₂-heteroaryl substituted by 1 to 3 substituents selected from a group consisting of oxo; nitro; halogen; alkyl; alkoxy; alkylthio; alkylarylsulfonyl; aryl; and heteroaryl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkyl and halogenoalkyl,
wherein N is an integer of 0 to 10, and when N is not 0, the $-\text{CH}_2-$ group is unsubstituted or substituted by halogen, or its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.

[2] 2. The compound of claim 1 wherein

X is O or S

R¹ is hydrogen or

alkyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of halogen; alkoxy; alkoxy carbonyl; carboxy; and aryl,

R² and R³ each are

hydrogen or

alkyl substituted by 1 to 3 substituents selected from a group consisting of halogen; hydroxy; alkoxy; and aralkyloxy,

R⁴ is alkyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkoxy; alkoxy carbonyl; biphenyl; aryl; aryloxy; aralkyloxy; alkylaryl; amino unsubstituted or substituted by a substituent(s) selected from a group consisting of alkyl and alkylaryl; cycloalkyl; cycloalkyloxy; alkylsulfonyl; heteroaryl; and heteroarylthio,

alkenyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of halogen; aryl; and heteroaryl,

alkinyl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkoxy; alkenyloxy; alkinyloxy; aralkyloxy; aryl; and heteroaryl,

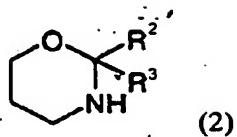
- $(\text{CH}_2)_N$ -cycloalkyl,

- $(\text{CH}_2_N$)₂-cycloalkenyl substituted by 1 to 3 substituents selected from a group consisting of alkyl and alkenyl,

- $(\text{CH}_2_N$)₂-aryl,

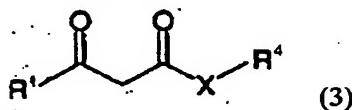
- $(\text{CH}_2_N$)₂-aryl substituted by 1 to 3 substituents selected from a group consisting

- of nitro; cyano; hydroxy; halogen; alkyl; halogenoalkyl; alkoxy; halogenoalkoxy; alkylthio; halogenoalkylthio; alkylsulfonyl; alkoxy carbonyl; alkoxy carbonyloxy; amino unsubstituted or substituted by a substituent(s) selected from a group consisting of alkyl and alkoxyalkyl; and aralkyloxy unsubstituted or substituted by alkoxy,
- $(CH_2)_N$ -heterocycle,
- $(CH_2)_N$ -heterocycle substituted by 1 to 3 substituents selected from a group consisting of oxo; nitro; alkyl; aralkyl; and aryl unsubstituted or substituted by nitro,
- $(CH_2)_N$ -heteroaryl, or
- $(CH_2)_N$ -heteroaryl substituted by 1 to 3 substituents selected from a group consisting of oxo; halogen; alkyl; alkylthio; alkylarylsulfonyl; aryl; and heteroaryl unsubstituted or substituted by 1 to 3 substituents selected from a group consisting of alkyl and halogenoalkyl,
- wherein N is an integer of 0 to 10, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [3] 3. The compound of claim 1 or 2 wherein R¹ is hydrogen or unsubstituted alkyl, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [4] 4. The compound of claim 1 or 2 wherein R² is hydrogen, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [5] 5. The compound of claim 1 or 2 wherein R³ is hydrogen, or alkyl substituted by halogen or hydroxy, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [6] 6. The compound of claim 1 or 5 wherein R³ is hydrogen, hydroxymethyl, or fluoromethyl, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [7] 7. The compound of claim 1 or 2 wherein X is O or S, R¹ is unsubstituted alkyl, R² is hydrogen, and R³ is hydrogen, hydroxymethyl, or fluoromethyl, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer.
- [8] 8. A process for preparing the compound of formula (1) as defined in claim 1, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer characterized in that
- (a) an oxazinane of the following formula (2):
- [9]



in which R² and R³ are defined as claim 1; an acetoacetate of the following formula (3):

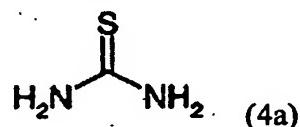
[10]



[11]

in which X, R¹, and R⁴ are defined as claim 1, and a thiourea of the following formula (4a):

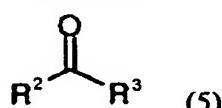
[12]



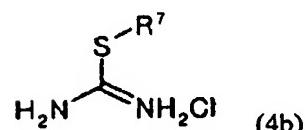
are reacted, or

(b) a carbonyl compound of the following formula (5):

[13]



in which R² and R³ are defined as claim 1, the acetoacetate of formula (3), and an isothiourea hydrochloride of the following formula (4b):



in which R⁷ is aralkyl or alkoxy carbonyl, each of which is unsubstituted or substituted by alkoxy, are reacted.

[14]

9. A composition for the treatment or prevention of hepatitis C, comprising as an active ingredient the compound of formula (1) as defined in claim 1, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer together with pharmaceutically acceptable carrier.

[15]

10. A process for preparing the composition for the treatment or prevention of hepatitis C as defined in claim 9, comprising admixing the compound of formula (1) as defined in claim 1, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer with pharmaceutically acceptable carrier.

[16]

11. A method for the treatment or prevention of hepatitis C, comprising administering the compound of formula (1) as defined in claim 1, its pharma-

aceutically acceptable salt, hydrate, solvate, or isomer including tautomer to a patient suffering from hepatitis C.

- [17] 12. A use of the compound of formula (1) as defined in claim 1, its pharmaceutically acceptable salt, hydrate, solvate, or isomer including tautomer for the treatment or prevention of hepatitis C.